APPENDIX: ALLOWED CLAIMS

26

12. The nucleic acid mimic according to claim wherein said target molecule is a nucleic acid.

13. (amended) The nucleic acid mimic according to claim wherein said sterically bulky substituent has 3 or more non-hydrogen atoms and is -R', -OR', -SR', -N(R')₂, -C(R')₃, -C(= X)(R'), -C(= X) (-Y-R') or S(= O)_{1.2}(-Y-R') wherein:

X is O, S or NH;

Y is O, S or NH; and

alkynyl, C₇-C₅₀-alkyl-aryl, C₆-C₅₀-aryl, C₁₀-C₅₀-naphthyl, C₁₂-C₅₀-biphenyl, C₇-C₅₀-aryl-alkyl, pyridyl, imidazolyl, pyrimidinyl, pyridazinyl, quinolyl, acridinyl, pyrrolyl, furanyl, thienyl, isoxazolyl, oxazolyl, thiazolyl and biotinyl, wherein R' can be substituted one or more times by -NO, -NO₂, -SO₃, -CN, -OH, -NH₂, -SH, -PO₃², -COOH, -F, -Cl, -Br and -I.

- 14. The nucleic acid mimic according to claim wherein said base is a naturally or non-naturally occurring pyrimidine base.
- 15. The nucleic acid mimic according to claim 14 wherein said sterically bulky substituent is bound to C-6, C-5 or N-4 of said naturally occurring pyrimidine base.
- 16. The nucleic acid mimic according to claim 15 wherein said sterically bulky substituent is bound to N-4 of said naturally occurring pyrimidine base.
- 17. The nucleic acid mimic according to claim 16 wherein said naturally occurring pyrimidine base is cytosine.

Christenson et al.

08/612,661

- 18. The nucleic acid mimic according to claim 16 wherein said sterically bulky substituent is (C=O)-R'' wherein R'' is C_1-C_{20} -alkyl or C_6-C_{18} -aryl.
- 19. The nucleic acid mimic according to claim 18 wherein said sterically bulky substituent is (C=0)-C_6H_5.

23. The nucleic acid mimic according to claim **(a)** having formula (IIIa):

$$\begin{array}{c|c}
 & L & CH_2 \\
 & R^3 N & O \\
 & CH_2 \\
 & R^7 \\
 & P \\
 & (CH_2)_{m} \\
 & (CH_2)_{m}$$

wherein:

each L is independently selected from the group consisting of hydrogen, phenyl, heterocyclic base moieties, including those substituted with a sterically bulky group or groups, naturally occurring nucleobases, and non-naturally occurring nucleobases, at least one L being said base substituted with at least one sterically bulky substituent;

 R^3 and R^4 independently are hydrogen, a conjugate, (C_1-C_4) alkyl, hydroxy- or alkylthio-substituted (C_1-C_4) alkyl, hydroxy, alkoxy, alkylthio or amino;

each R^T is independently selected from the group consisting of hydrogen and the side chains of naturally occurring alpha amino acids;

n is an integer from 1 to 60;
each of k, l, and m is independently zero or an integer from 1 to 5;
p is zero or 1;

 R^h is OH, NH₂ or -NHLysNH₂; and R^i is H or COCH₃.

24. The nucleic acid mimic according to claim 22 having formula (IIIb):

wherein:

each L is independently selected from the group consisting of hydrogen, phenyl, heterocyclic base moieties, including those substituted with a sterically bulky group or groups, naturally occurring nucleobases, and non-naturally occurring nucleobases, at least one L being said base substituted with at least one sterically bulky substituent;

 R^3 and R^4 independently are hydrogen, a conjugate, (C_1-C_4) alkyl, hydroxy- or alkoxy- or alkylthio-substituted (C_1-C_4) alkyl, hydroxy, alkoxy, alkylthio or amino;

each R^{τ} is independently selected from the group consisting of hydrogen and the side chains of naturally occurring alpha amino acids;

n is an integer from 1 to 60;
each of k, l, and m is independently zero or an integer from 1 to 5;
p is zero or 1;

Rh is OH, NH₂ or -NHLysNH₂; and

Ri is H or COCH3.

--26. A nucleic acid mimic in admixture with at least one target molecule selected from the group consisting of nucleic acids, transcription factors, carbohydrates and proteins, said mimic having formula (I):

$$Q = \begin{bmatrix} L & 1 & L & 2 & L & 1 \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & 2 & A & A \\ A & 1 & A & A$$

wherein:

n is at least 2,

each of L^1 - L^n is independently selected from the group consisting of hydrogen, hydroxy, $(C_1$ - C_4)alkanoyl, naturally occurring nucleobases, non-naturally occurring nucleobases, aromatic moieties, DNA intercalators, nucleobase-binding groups, heterocyclic moieties, and reporter ligands, at least one of L^1 - L^n being said base substituted with at least one sterically bulky substituent;

each of C¹-Cⁿ is (CR⁶R⁷)_y where R⁶ is hydrogen and R⁷ is selected from the group consisting of the side chains of naturally occurring alpha amino acids, or R⁶ and R⁷ are independently

ISIS-2169 PATENT

selected from the group consisting of hydrogen, (C_2-C_6) alkyl, aryl, aralkyl, heteroaryl, hydroxy, (C_1-C_6) alkoxy, (C_1-C_6) alkylthio, NR³R⁴ and SR⁵, where R³ and R⁴ independently are hydrogen, a conjugate, (C_1-C_4) alkyl, hydroxy- or alkoxy- or alkylthio-substituted (C_1-C_4) alkyl, hydroxy, alkoxy, alkylthio or amino; and R⁵ is hydrogen, (C_1-C_6) alkyl, hydroxy-, alko xy-, or alkylthio-substituted (C_1-C_6) alkyl, or R⁶ and R⁷ taken together complete an alicyclic or heterocyclic system;

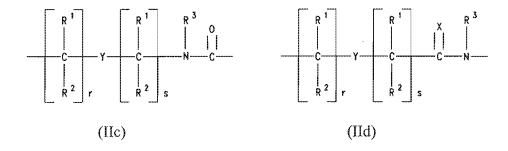
each of D¹-Dⁿ is (CR⁶R⁷), where R⁶ and R⁷ are as defined above;

each of y and z is zero or an integer from 1 to 10, the sum y + z being greater than 2 but not more than 10;

each of G^1 - G^{n-1} is -NR³CO-, -NR³CS-, -NR³SO- or -NR³SO₂-, in either orientation, where R^3 is as defined above;

each pair of A¹-Aⁿ and B¹-Bⁿ are selected such that:

- (a) A is a group of formula (IIc) and B is N or R³N⁺; or
- (b) A is a group of formula (IId) and B is CH;



where:

X is O, S, Se, NR³, CH₂ or C(CH₃)₂;

Y is a single bond, O, S or NR⁴;

each of p and q is zero or an integer from 1 to 5;